

53655 E/28	807 (804)	YANA 11.11.80	B(4-B1B, 5-B1P) 2	1 3 7
TANABE SEIYAKU KK		*J57082-311		
11.11.80-JP-159207 (22.05.82) A61k-09/10				
Liposome compen. prodn. - by dispersing phospholipid in aq. medium, freeze-drying and re-dissolving the prod. in aq. medium contg. a drug			lecithin etc.	
Liposome preps. are produced by (a) dispersing phospholipid in an aq. medium, (b) freeze-drying the dispersion, and (c) re-dissolving the resultant freeze-dried product in an aqueous medium containing a drug.			The aq. medium is preferably water, saline, buffer (phosphate, citrate etc.), aq. saccharides (glucose, sorbitol, etc.).	
ADVANTAGES/USES			The drug may be normal drugs such as diltiazem, glutathione etc., vitamins, enzymes, hormones, antibiotics etc.	
Liposome is a good carrier for bringing a drug to the intended tissue, or adjusting the absorption of a drug. Conventional methods for incorporating drugs into liposome involve use of organic solvents (e.g. chloroform, ether, t-butanol) and hence there is a risk that the products still contain residual solvents. The process eliminates such a risk. Uses are pharmaceutical preparations, e.g. oral, injectable, suppository forms etc.			For preparing a dispersion, 0.01-0.3 wt. pts. of phospholipid is used per pt. of the aqueous medium. The freeze-drying conditions are conventional. Generally, 5-100 wt. pts. of phospholipid is used per pt. of the drug.	
DETAILS			EXAMPLE	
The phospholipid is e.g. phosphatidyl choline, phosphatidyl ethanolamine, phosphatidyl inositol etc.; ovollecithin, soybean lecithin etc., synthetic cpds. such as dipalmitoyl			25g of yolk phospholipid was dispersed in 20 ml. of a buffer (1/15 M phosphate HCl buffer (pH 7): 0.9% saline = 1:1) then adjusted to 25 ml. The crude dispersion was treated on an ultrasonic emulsifier, and put in 1 ml. vials. 100 mg. of mannitol was added to each vial and the mixt. was freeze-dried at -40 to -43°C and 0.03-0.9 Torr (16 hrs.) to obtain a freeze-dried product (A).	
			1 ml. of a cyanocobalamin solution (prepared by dissolving 125 mg cyanocobalamin in 25 ml. of the same saline-buffer as above) and 1 ml. of distilled water were added to (A) to obtain a liposome dispersion contg. cyanocobalamin (20.3%). (4ppW119)	J57082311